

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSPTAJDA1614

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * *

| | |
|----------------|--|
| NEWS 1 | Web Page for STN Seminar Schedule - N. America |
| NEWS 2 DEC 01 | ChemPort single article sales feature unavailable |
| NEWS 3 JAN 06 | The retention policy for unread STNmail messages will change in 2009 for STN-Columbus and STN-Tokyo |
| NEWS 4 JAN 07 | WPIDS, WINDEX, and WPIX enhanced Japanese Patent Classification Data |
| NEWS 5 FEB 02 | Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE |
| NEWS 6 FEB 02 | GENBANK enhanced with SET PLURALS and SET SPELLING |
| NEWS 7 FEB 06 | Patent sequence location (PSL) data added to USGENE |
| NEWS 8 FEB 10 | COMPENDEX reloaded and enhanced |
| NEWS 9 FEB 11 | WTEXTILES reloaded and enhanced |
| NEWS 10 FEB 19 | New patent-examiner citations in 300,000 CA/CAPplus patent records provide insights into related prior art |
| NEWS 11 FEB 19 | Increase the precision of your patent queries -- use terms from the IPC Thesaurus, Version 2009.01 |
| NEWS 12 FEB 23 | Several formats for image display and print options discontinued in USPATFULL and USPAT2 |
| NEWS 13 FEB 23 | MEDLINE now offers more precise author group fields and 2009 MeSH terms |
| NEWS 14 FEB 23 | TOXCENTRE updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms |
| NEWS 15 FEB 23 | Three million new patent records blast AEROSPACE into STN patent clusters |
| NEWS 16 FEB 25 | USGENE enhanced with patent family and legal status display data from INPADOCDB |
| NEWS 17 MAR 06 | INPADOCDB and INPAFAMDB enhanced with new display formats |
| NEWS 18 MAR 11 | EPFULL backfile enhanced with additional full-text applications and grants |
| NEWS 19 MAR 11 | ESBIOBASE reloaded and enhanced |
| NEWS 20 MAR 20 | CAS databases on STN enhanced with new super role for nanomaterial substances |
| NEWS 21 MAR 23 | CA/CAPplus enhanced with more than 250,000 patent equivalents from China |
| NEWS 22 MAR 30 | IMSPATENTS reloaded and enhanced |
| NEWS 23 APR 03 | CAS coverage of exemplified prophetic substances enhanced |
| NEWS 24 APR 07 | STN is raising the limits on saved answers |

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items

NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN customer agreement. This agreement limits use to scientific research. Use for software development or design, implementation of commercial gateways, or use of CAS and STN data in the building of commercial products is prohibited and may result in loss of user privileges and other penalties.

* *

FILE 'HOME' ENTERED AT 10:03:12 ON 22 APR 2009

| => file registry | COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---------------------|----------------------|------------------|---------------|
| FULL ESTIMATED COST | | 0.22 | 0.22 |

FILE 'REGISTRY' ENTERED AT 10:03:25 ON 22 APR 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2009 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 20 APR 2009 HIGHEST RN 1137276-53-9
DICTIONARY FILE UPDATES: 20 APR 2009 HIGHEST RN 1137276-53-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

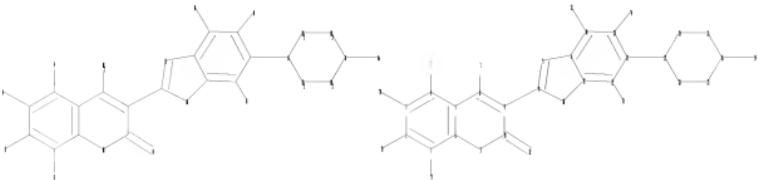
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10706328_updated.str



```

chain nodes :
7 12 13 29  30  31  32  33  34  35
ring nodes :
1  2  3  4  5  6  8  9  10 11 14 15 16 17 18 19 20 21 22 23 24 25 26
27 28
chain bonds :
1-32 2-31 3-30 4-7 8-13 9-14 10-12 19-33 20-34 21-23 22-35 26-29
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-8 6-11 8-9 9-10 10-11 14-15 14-18 15-16
16-17 16-19 17-18 17-22 19-20 20-21 21-22 23-24 23-28 24-25 25-26 26-27
27-28
exact/norm bonds :
5-8 6-11 8-9 8-13 9-10 10-11 10-12 14-15 14-18 15-16 17-18 21-23 23-24
23-28 24-25 25-26 26-27 27-28
exact bonds :
1-32 2-31 3-30 4-7 9-14 19-33 20-34 22-35 26-29
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-19 17-22 19-20 20-21 21-22

```

```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom
11:Atom 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom
29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS 34:CLASS 35:CLASS

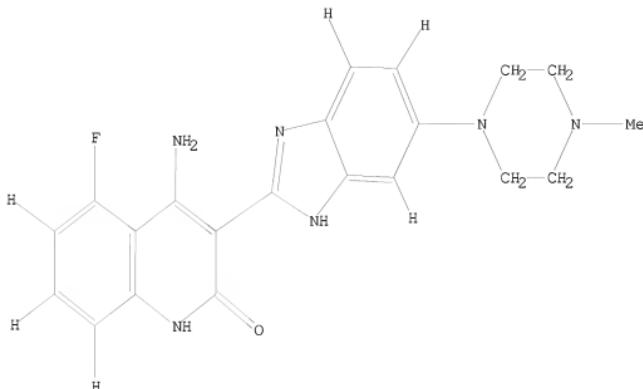
```

L1 STRUCTURE UPLOADED

```

=> d 11
L1 HAS NO ANSWERS
L1                    STR

```



Structure attributes must be viewed using STN Express query preparation.

=> s 11 exa

SAMPLE SEARCH INITIATED 10:03:46 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 17 TO ITERATE

100.0% PROCESSED 17 ITERATIONS
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 93 TO 587
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA EXA SAM L1

=> s 11 full
FULL SEARCH INITIATED 10:03:52 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1381 TO ITERATE

100.0% PROCESSED 1381 ITERATIONS
SEARCH TIME: 00.00.01

31 ANSWERS

L3 31 SEA SSS FUL L1

=> s 11
SAMPLE SEARCH INITIATED 10:04:01 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 65 TO ITERATE

100.0% PROCESSED 65 ITERATIONS
SEARCH TIME: 00.00.01

1 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 817 TO 1783

PROJECTED ANSWERS:

1 TO 80

L4 1 SEA SSS SAM L1

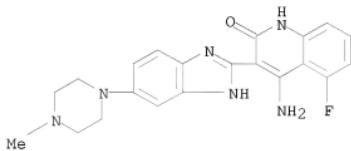
=> d 14

L4 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2009 ACS on STN
RN 915769-50-5 REGISTRY
ED Entered STN: 18 Dec 2006
CN Propanoic acid, 2-hydroxy-, compd. with
4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]-2(1H)-
quinolinone, hydrate (1:1:1) (CA INDEX NAME)
MF C21 H21 F N6 O . C3 H6 O3 . H2 O
SR CA
LC STN Files: CA, CAPLUS, IMSRESEARCH, PHAR, PROUSDDR, SYNTHLINE,
TOXCENTER, USAN

CM 1

CRN 405169-16-6

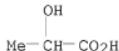
CMF C21 H21 F N6 O



CM 2

CRN 50-21-5

CMF C3 H6 O3



1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST | 188.41 | 188.63 |

FILE 'MEDLINE' ENTERED AT 10:04:35 ON 22 APR 2009

FILE 'CAPLUS' ENTERED AT 10:04:35 ON 22 APR 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'WPIDS' ENTERED AT 10:04:35 ON 22 APR 2009

COPYRIGHT (C) 2009 THOMSON REUTERS

FILE 'USPATFULL' ENTERED AT 10:04:35 ON 22 APR 2009
CA INDEXING COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

=> s 13
SAMPLE SEARCH INITIATED 10:04:40 FILE 'WPIDS'
SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.03

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 0 TO 0
PROJECTED ANSWERS: 0 TO 0

L5 92 L3

=> s 15 and (?cancer? or ?tumor? or ?tumour? or ?neoplasm?)
L6 79 L5 AND (?CANCER? OR ?TUMOR? OR ?TUMOUR? OR ?NEOPLASM?)

=> s 16 and (pd<20031107 or prd<20031107)
'20031107' NOT A VALID FIELD CODE
1 FILES SEARCHED...
3 FILES SEARCHED...
L7 23 L6 AND (PD<20031107 OR PRD<20031107)

=> s 17 and ("PDGFR" or "c-kit" or "FLT-3")
3 FILES SEARCHED...
L8 12 L7 AND ("PDGFR" OR "C-KIT" OR "FLT-3")

=> d 18 1-12 ibib, abs, hitstr

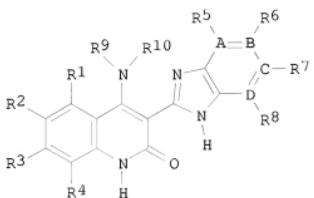
L8 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 200511242789 CAPLUS
DOCUMENT NUMBER: 143:477969
TITLE: Preparation of benzimidazole quinolinones for
inhibiting FGFR3 and treating multiple myeloma
INVENTOR(S): Cai, Shaopei; Chou, Joyce; Harwood, Eric; Heise, Carla
C.; Machajewski, Timothy D.; Ryckman, David; Shang,
Xiao; Wiesmann, Marion; Zhu, Shuguang
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: U.S. Pat. Appl. Publ., 239 pp., Cont.-in-part of U.S.
Ser. No. 644,055.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------------|
| US 20050261307 | A1 | 20051124 | US 2004-983174 | 20041105 <-- |
| US 20040092535 | A1 | 20040513 | US 2003-644055 | 20030819 <-- |
| US 7470709 | B2 | 20081230 | | |
| CN 1692112 | A | 20051102 | CN 2003-824565 | 20030819 <-- |
| US 20050203101 | A1 | 20050915 | US 2004-839793 | 20040505 <-- |
| PRIORITY APPLN. INFO.: | | | US 2002-405729P | P 20020823 <-- |
| | | | US 2002-426107P | P 20021113 <-- |
| | | | US 2002-426226P | P 20021113 <-- |
| | | | US 2002-426282P | P 20021113 <-- |

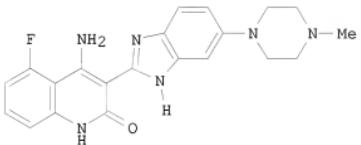
| | |
|-----------------|-----------------|
| US 2002-428210P | P 20021121 <-- |
| US 2003-460327P | P 20030403 <-- |
| US 2003-460328P | P 20030403 <-- |
| US 2003-460493P | P 20030403 <-- |
| US 2003-478916P | P 20030616 <-- |
| US 2003-484048P | P 20030701 <-- |
| US 2003-644055 | A2 20030819 <-- |
| US 2003-517915P | P 20031107 |
| US 2003-526425P | P 20031202 |
| US 2003-526426P | P 20031202 |
| US 2004-546017P | P 20040219 |

OTHER SOURCE(S):
GI

MARPAT 143:477969



I



II

AB The title compds. I [A, B, C, and D = C, N; R1-R3 = H, halo, CN, NO₂, etc.; R4 = H, alkyl; R5-R8 = H, halo, CN, NO₂, etc.; R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H], useful for inhibiting fibroblast growth factor receptor 3 or treating a biol. condition mediated by fibroblast growth factor receptor 3, were prepared E.g., a multi-step synthesis of 4-amino-5-fluoro-3-[6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-1H-quinolin-2-one (II), starting from 5-chloro-2-nitroaniline and 1-methylpiperazine, was given. The majority of the exemplary compds. I displayed an IC₅₀ of less than 10 μM with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CK1α, Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFR.α., and PDGFR.β.. In addition, many of the exemplary compds. exhibited IC₅₀ values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFR.α., and PDGFR.β. with IC₅₀ values of less than 1 μM. The mentioned above compound II was tested in various tests and showed significant antiproliferative activity. II inhibited FGFR3 receptor

phosphorylation and ERK phosphorylation in multiple myeloma cell lines with activating FGFR3 mutations.

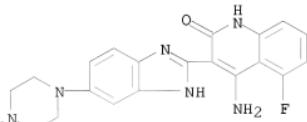
IT 405169-16-6P 668434-24-0P 692737-80-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole quinolinones for inhibiting FGFR3 and treating multiple myeloma)

RN 405169-16-6 CAPPLUS

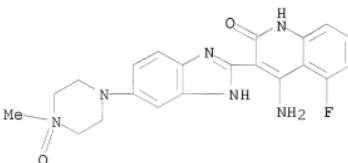
CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)



Me

RN 668434-24-0 CAPPLUS

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[6-(4-methyl-4-oxido-1-piperazinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)



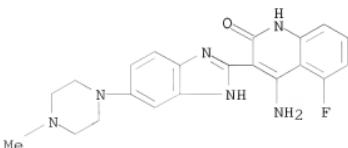
RN 692737-80-7 CAPPLUS

CN Propanoic acid, 2-hydroxy-, compd. with 4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]-2(1H)-quinolinone (1:1) (CA INDEX NAME)

CM 1

CRN 405169-16-6

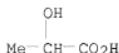
CMF C21 H21 F N6 O



Me

CM 2

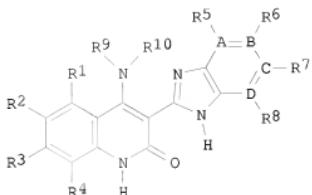
CRN 50-21-5
CMF C3 H6 O3



L8 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1223876 CAPLUS
DOCUMENT NUMBER: 143:477966
TITLE: Preparation of benzimidazole quinolinones for inhibiting a checkpoint kinase 1 and their use in combination therapy for cancer
INVENTOR(S): Gesner, Thomas G.; Barsanti, Paul A.; Harrison, Stephen D.; Ni, Zhi-Jie; Brammeier, Nathan M.; Zhou, Yasheen; Le, Vincent P.
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: U.S. Pat. Appl. Publ., 249 pp., Cont.-in-part of U.S. Ser. No. 644,055.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|-----------------|-----------------|--------------|
| US 20050256157 | A1 | 20051117 | US 2005-41191 | 20050121 <-- |
| US 20040092535 | A1 | 20040513 | US 2003-644055 | 20030819 <-- |
| US 7470709 | B2 | 20081230 | | |
| CN 1692112 | A | 20051102 | CN 2003-824565 | 20030819 <-- |
| US 20050203101 | A1 | 20050915 | US 2004-839793 | 20040505 <-- |
| PRIORITY APPLN. INFO.: | | US 2002-405729P | P | 20020823 <-- |
| | | US 2002-426107P | P | 20021113 <-- |
| | | US 2002-426226P | P | 20021113 <-- |
| | | US 2002-426282P | P | 20021113 <-- |
| | | US 2002-428210P | P | 20021121 <-- |
| | | US 2003-460327P | P | 20030403 <-- |
| | | US 2003-460328P | P | 20030403 <-- |
| | | US 2003-460493P | P | 20030403 <-- |
| | | US 2003-478916P | P | 20030616 <-- |
| | | US 2003-480448P | P | 20030701 <-- |
| | | US 2003-644055 | A2 | 20030819 <-- |
| | | US 2004-538984P | P | 20040123 |

OTHER SOURCE(S): CASREACT 143:477966; MARPAT 143:477966
GI



AB The title compds. [I; A, B, C, D = C, N; R1 = H, halo, CN, NO₂, etc.; R2, R3 = H, halo, NO₂, CN, etc.; R4 = H, (un)substituted alkyl; R5, R8 = H, (un)substituted alkyl, alkenyl, heterocyclyl; or R5 may be absent if A = N; or R8 may be absent if D = N; R6, R7 = H, halo, NO₂, CN, etc.; R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H; or R9 and R10 join together to form one or more rings, each having 5-7 members], useful for inhibiting checkpoint kinase 1, inducing cell cycle progression, and increasing apoptosis in cells, were prepared. E.g., a multi-step synthesis of 4-amino-3-(benzimidazol-2-yl)-6-(4-methylpiperazinyl)hydroquinolin-2-one, was given. The compds. I were tested against various kinases. Two of the prepared compds. I, 4-[(3S)-1-azabicyclo[2.2.2]oct-3-ylamino]-3-(1H-benzimidazol-2-yl)-6-chloroquinolin-2-(1H)-one and 6-chloro-3-[5-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-4-[(piperidin-2-ylmethyl)amino]quinolin-2(1H)-one, were found to be potent inhibitors of CHK1 with IC₅₀ of 0.32 nM and 0.63 nM, resp. The majority of the exemplary compds. I displayed an IC₅₀ of less than 10 μM with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CK1ε, Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFR.α, and PDGFR.β. In addition, many of the exemplary compds. exhibited IC₅₀ values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFR.α, and PDGFR.β. with IC₅₀ values of less than 1 μM. The compds. I may be used to prepare pharmaceutical compns. and may be used in conjunction with DNA damaging agents.

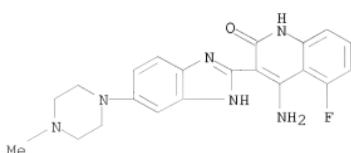
IT 405169-16-6P 668434-24-0P 652737-80-7P

RL: PAC (Pharmacological activity); **SPN:** (Synthetic preparation); **THU:** (Therapeutic use); **BIOL:** (Biological study); **PREP:** (Preparation); **USES (Uses)**

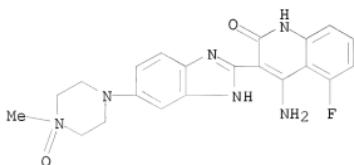
(preparation of benzimidazole quinolinones for inhibiting a checkpoint kinase 1 and their use in combination therapy for cancer)

RN 405169-16-6 CAPLUS

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)



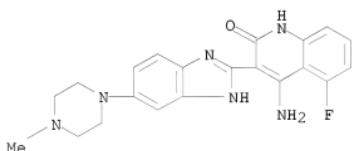
RN 668434-24-0 CAPLUS
CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[6-(4-methyl-4-oxido-1-piperazinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)



RN 692737-80-7 CAPLUS
CN Propanoic acid, 2-hydroxy-, compd. with
4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]-2(1H)-
quinolinone (1:1) (CA INDEX NAME)

CM 1

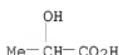
CRN 405169-16-6
CMF C21 H21 F N6 O



Me

CM 2

CRN 50-21-5
CMF C3 H6 O3



L8 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:99470 CAPLUS
DOCUMENT NUMBER: 142:197889
TITLE: Fluoro substituted omega-carboxyaryl diphenyl urea for
treatment of raf, VEGFR, PDGFR, p38 and
flt-3 kinase-mediated diseases
Scott
Dumas, Jacques; Boyer, Stephen; Riedl, Bernd; Wilhelm,
INVENTOR(S): Scott
Bayer Pharmaceuticals Corporation, USA
PATENT ASSIGNEE(S): PCT Int. Appl., 68 pp.
SOURCE: CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

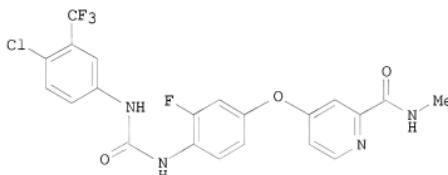
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------|----------------|
| WO 2005009961 | A2 | 20050203 | WO 2004-US23500 | 20040722 <-- |
| WO 2005009961 | A3 | 20050331 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG | | | | |
| AU 2004259760 | A1 | 20050203 | AU 2004-259760 | 20040722 <-- |
| CA 2532865 | A1 | 20050203 | CA 2004-2532865 | 20040722 <-- |
| US 20050038080 | A1 | 20050217 | US 2004-895985 | 20040722 <-- |
| EP 1663978 | A2 | 20060607 | EP 2004-786091 | 20040722 <-- |
| EP 1663978 | B1 | 20071128 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK | | | | |
| BR 2004012219 | A | 20060822 | BR 2004-12219 | 20040722 <-- |
| CN 1856469 | A | 20061101 | CN 2004-80021091 | 20040722 <-- |
| JP 2006528196 | T | 20061214 | JP 2006-521221 | 20040722 <-- |
| ES 2297490 | T3 | 20080501 | ES 2004-786091 | 20040722 <-- |
| KR 2006052866 | A | 20060519 | KR 2006-701558 | 20060123 <-- |
| MX 2006000860 | A | 20060720 | MX 2006-860 | 20060123 <-- |
| IN 2006DN00402 | A | 20070824 | IN 2006-DN402 | 20060123 <-- |
| NO 2006000870 | A | 20060407 | NO 2006-870 | 20060222 <-- |
| PRIORITY APPLN. INFO.: | | | US 2003-489102P | P 20030723 <-- |
| | | | US 2004-540326P | P 20040202 |
| | | | WO 2004-US23500 | W 20040722 |

OTHER SOURCE(S):

CASREACT 142:197889

GI



AB Title compound I is prepared I and salts thereof is prepared in several steps from 3-fluoro-4-nitrophenol, 4-chloro-N-methylpyridine-2-carboxamide and 4-chloro-3-(trifluoromethyl)phenylisocyanate. I inhibits PDGFR tyrosine kinase with IC₅₀ = 80 nM. I is useful for the treatment of, e.g., inflammation and as an antiproliferative agent.

IT 692737-80-7, CHIR 258

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combination pharmaceutical; fluoro substituted omega-carboxyaryl di-Ph
urea for treatment of raf, VEGFR, PDGFR, p38 and fit
-3 kinase-mediated diseases)

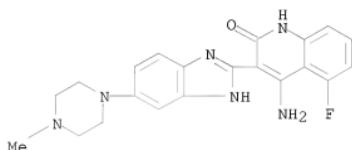
RN 692737-80-7 CAPLUS

CN Propanoic acid, 2-hydroxy-, compd. with
4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]-2(1H)-
quinolinone (1:1) (CA INDEX NAME)

CM 1

CRN 405169-16-6

CMF C21 H21 F N6 O

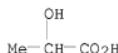


Me

CM 2

CRN 50-21-5

CMF C3 H6 O3



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:428803 CAPLUS

DOCUMENT NUMBER: 141:1211

TITLE: Methods of treating cancer with a methylpiperazinyl benzimidazolyl quinolinone and related methods

INVENTOR(S): Machajewski, Timothy D.; Hannah, Alison; Harwood, Eric; Haroldsen, Peter; Heise, Carla C.; Samara, Emil; Shang, Xiao; Vora, Jayesh; Zhu, Shuguang

PATENT ASSIGNEE(S): Chiron Corporation, USA

SOURCE: PCT Int. Appl., 76 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| WO 2004043389 | A2 | 20040527 | WO 2003-US35806 | 20031112 <-- |
| WO 2004043389 | A3 | 20040805 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, | | | | |

GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
 LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
 OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
 TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 CA 2501932 A1 20040527 CA 2003-2501932 20031112 <-
 AU 2003290699 A1 20040603 AU 2003-290699 20031112 <-
 US 20040220196 A1 20041104 US 2003-706328 20031112 <-
 EP 1565187 A2 20050824 EP 2003-783281 20031112 <-
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 BR 2003016229 A 20051004 BR 2003-16229 20031112 <-
 CN 1711088 A 20051221 CN 2003-80103178 20031112 <-
 CN 100377709 C 20080402
 JP 2006511616 T 20060406 JP 2005-507133 20031112 <-
 NZ 539425 A 20071130 NZ 2003-539425 20031112 <-
 SG 148864 A1 20090129 SG 2007-3449 20031112 <-
 MX 2005004754 A 20050802 MX 2005-4754 20050503 <-
 IN 2005KN00793 A 20060303 IN 2005-KN793 20050503 <-
 NO 2005002760 A 20050720 NO 2005-2760 20050607 <-
 PRIORITY APPLN. INFO.:
 US 2002-426107P P 20021113 <-
 US 2002-426204P P 20021113 <-
 US 2002-426282P P 20021113 <-
 US 2003-460328P P 20030403 <-
 US 2003-460369P P 20030403 <-
 US 2003-460493P P 20030403 <-
 US 2003-517915P P 20031107
 WO 2003-US35806 W 20031112

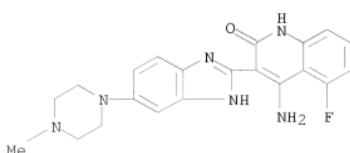
AB Methods of treating cancer using 4-amino-5-fluoro-3-[6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]quinolin-2(1H)-one (I) are provided. In particular, the methods are effective for the treatment of solid tumors or leukemias, including prostate, colorectal, breast, multiple myeloma, pancreatic, small cell carcinoma, acute myelogenous leukemia, chronic myelogenous leukemia, or myelo-proliferative disease. Further provided are methods of measuring the amount of I and determining a metabolic profile therefore. The growth of both the KM12L4 and MV4;11 xenografts in mice were potently inhibited by I in vivo.

IT 405169-16-6

RL: ANT (Analyte); BSU (Biological study, unclassified); PAC (Pharmacological activity); FKT (Pharmacokinetics); RCT (Reactant); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
 (cancer treatment with methylpiperazinyl benzimidazolyl quinolinones and related methods)

RN 405169-16-6 CAPLUS

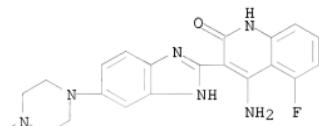
CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)



IT 405169-16-6D, salts, tautomers
RL: ANT (Analyte); BSU (Biological study, unclassified); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(cancer treatment with methylpiperazinyl benzimidazolyl quinolinone and related methods)

RN 405169-16-6 CAPLUS

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)



Me

IT 692737-80-7P

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cancer treatment with methylpiperazinyl benzimidazolyl quinolinone and related methods)

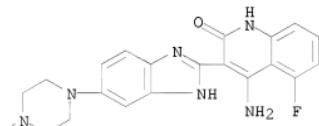
RN 692737-80-7 CAPLUS

CN Propanoic acid, 2-hydroxy-, compd. with 4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]-2(1H)-quinolinone (1:1) (CA INDEX NAME)

CM 1

CRN 405169-16-6

CMF C21 H21 F N6 O

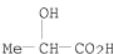


Me

CM 2

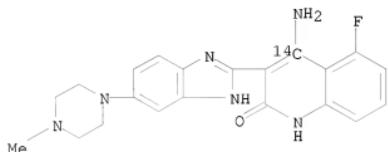
CRN 50-21-5

CMF C3 H6 O3

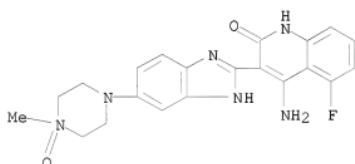


IT 692737-81-8

RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (distribution in tissues; cancer treatment with
 methylpiperazinyl benzimidazolyl quinolinone and related methods)
 RN 692737-81-8 CAPLUS
 CN 2(1H)-Quinolinone-4-14C, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-
 benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



IT 668434-24-0P
 RL: ANT (Analyte); BSU (Biological study, unclassified); SPN (Synthetic
 preparation); ANST (Analytical study); BIOL (Biological study); PREP
 (Preparation)
 (metabolite; cancer treatment with methylpiperazinyl
 benzimidazolyl quinolinone and related methods)
 RN 668434-24-0 CAPLUS
 CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[6-(4-methyl-4-oxido-1-piperazinyl)-
 1H-benzimidazol-2-yl]- (CA INDEX NAME)

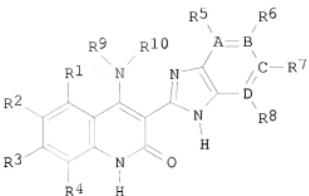


L8 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2004:182836 CAPLUS
 DOCUMENT NUMBER: 140123571
 TITLE: Preparation of benzimidazole quinolinones for
 inhibiting a serine/threonine kinase
 INVENTOR(S): Barsanti, Paul A.; Bussiere, Dirksen; Harrison,
 Stephen D.; Heise, Carla C.; Jansen, Johanna M.;
 Jazan, Elisa; Machajewski, Timothy D.; McBride,
 Christopher; McCrea, William R.; Ng, Simon; Ni,
 Zhi-Jie; Pecchi, Sabina; Pfister, Keith; Ramurthy,
 Savithri; Renhowe, Paul A.; Shafer, Cynthia M.;
 Silver, Joel B.; Wagman, Allan; Weismann, Marion
 PATENT ASSIGNEE(S): Chiron Corporation, USA
 SOURCE: PCT Int. Appl., 570 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 7
 PATENT INFORMATION:

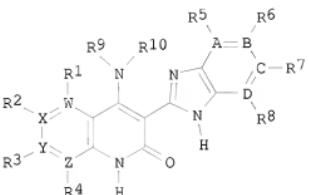
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------------|
| WO 2004018419 | A2 | 20040304 | WO 2003-US25990 | 20030819 <-- |
| WO 2004018419 | A3 | 20040603 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2496164 | A1 | 20040304 | CA 2003-2496164 | 20030819 <-- |
| AU 2003288899 | A1 | 20040311 | AU 2003-288899 | 20030819 <-- |
| EP 1539754 | A2 | 20050615 | EP 2003-781286 | 20030819 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003013743 | A | 20050705 | BR 2003-13743 | 20030819 <-- |
| CN 1692112 | A | 20051102 | CN 2003-824565 | 20030819 <-- |
| JP 2006503919 | T | 20060202 | JP 2005-501762 | 20030819 <-- |
| IN 2005KN00484 | A | 20060106 | IN 2005-KN484 | 20050323 <-- |
| PRIORITY APPLN. INFO.: | | | | |
| | | | US 2002-405729P | P 20020823 <-- |
| | | | US 2002-426107P | P 20021113 <-- |
| | | | US 2002-426226P | P 20021113 <-- |
| | | | US 2002-426282P | P 20021113 <-- |
| | | | US 2002-428210P | P 20021121 <-- |
| | | | US 2003-460327P | P 20030403 <-- |
| | | | US 2003-460328P | P 20030403 <-- |
| | | | US 2003-460493P | P 20030403 <-- |
| | | | US 2003-478916P | P 20030616 <-- |
| | | | US 2003-484048P | P 20030701 <-- |
| | | | WO 2003-US25990 | W 20030819 <-- |

OTHER SOURCE(S):
GI

MARPAT 140:235711



I



II

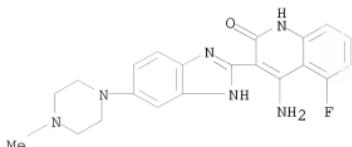
- AB** The title compds. [I and II; A, B, C, and D = C, N; W, X, Y and Z = C, N and at least one of W, X, Y, and Z = N; R1-R8 = H, halo, CN, NO₂, etc.; R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H; or NR9R10 = 5-7 membered ring], useful for inhibiting various enzymes and treating various conditions, were prepared E.g., a multi-step synthesis of 4-amino-3-(benzimidazol-2-yl)-6-(4-methylpiperazinyl)hydroquinolin-2-one, was given. The majority of the exemplary compds. I displayed an IC₅₀ of less than 10 μM with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, NEK-2, CHK2, CK1ε, Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFR.alpha., and PDGFR.
- β. In addition, many of the exemplary compds. exhibited IC₅₀ values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFR.alpha., and PDGFR.beta. with IC₅₀ values of less than 1 μM.

IT 405169-16-6P 668434-24-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

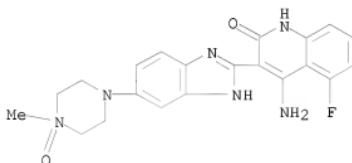
(preparation of benzimidazole quinolinones for inhibiting a serine/threonine kinase)

RN 405169-16-6 CAPLUS**CN** 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)



Me

RN 668434-24-0 CAPLUS
 CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[6-(4-methyl-4-oxido-1-piperazinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)



L8 ANSWER 6 OF 12 USPATFULL on STN
 ACCESSION NUMBER: 2007:83463 USPATFULL
 TITLE: Use of tyrosine kinase inhibitor to treat diabetes
 INVENTOR(S): Hagerkvist, Robert Per, Hoganasgatan 7B, Uppsala,
 SWEDEN 75330
 Welsh, Nils Richard, Uppsala, SWEDEN

| | NUMBER | KIND | DATE |
|---------------------|----------------|------|-----------------------|
| PATENT INFORMATION: | US 20070072932 | A1 | 20070329 |
| APPLICATION INFO.: | US 2004-556984 | A1 | 20040526 (10) |
| | WO 2004-EF5679 | | 20040526 |
| | | | 20060622 PCT 371 date |

| | NUMBER | DATE |
|-----------------------|---|----------|
| PRIORITY INFORMATION: | GB 2003-12086 | 20030527 |
| | GB 2004-2682 | 20040206 |
| DOCUMENT TYPE: | Utility | <-- |
| FILE SEGMENT: | APPLICATION | |
| LEGAL REPRESENTATIVE: | NOVARTIS, CORPORATE INTELLECTUAL PROPERTY, ONE HEALTH PLAZA 104/3, EAST HANOVER, NJ, 07936-1080, US | |
| NUMBER OF CLAIMS: | 8 | |
| EXEMPLARY CLAIM: | 1-10 | |
| NUMBER OF DRAWINGS: | 2 Drawing Page(s) | |
| LINE COUNT: | 857 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to the use of a c-Abl-, PDGF-R-, or c-kit- tyrosine kinase inhibitor, e.g. 4-(4-methylpiperazin-1-ylmethyl)-N-[4-methyl-3-(4-pyridin-3-yl)pyrimidin-2-ylamino]phenyl-benzamide, or a pharmaceutically acceptable salt thereof for the manufacture of a medicament for the treatment of diabetes, e.g. type I diabetes, type II diabetes.

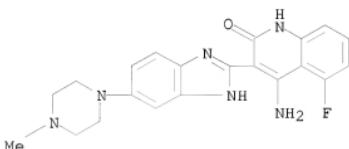
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 405169-16-6, C1H1R 258

(c-abl-, PDGFR-, or c-kit-tyrosine kinase inhibitor for treatment of diabetes)

RN 405169-16-6 USPATFULL

CN 2-(1H)-Quinolinone, 4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)



L8 ANSWER 7 OF 12 USPATFULL on STN

ACCESSION NUMBER: 2005:299638 USPATFULL
TITLE: Inhibition of FGFR3 and treatment of multiple myeloma
INVENTOR(S): Cai, Shaopei, Seattle, WA, UNITED STATES
Chou, Joyce, El Cerrito, CA, UNITED STATES
Harwood, Eric, Seattle, WA, UNITED STATES
Heise, Carla C., Benicia, CA, UNITED STATES
Machajewski, Timothy D., Martinez, CA, UNITED STATES
Ryckman, David, Bellevue, WA, UNITED STATES
Shang, Xiao, Bellevue, WA, UNITED STATES
Wiesmann, Marion, Brisbane, CA, UNITED STATES
Zhu, Shuguang, Shoreline, WA, UNITED STATES
PATENT ASSIGNEE(S): Chiron Corporation (U.S. corporation)

| | NUMBER | KIND | DATE |
|-----------------------|--|------|---------------|
| PATENT INFORMATION: | US 20050261307 | A1 | 20051124 |
| APPLICATION INFO.: | US 2004-983174 | A1 | 20041105 (10) |
| RELATED APPLN. INFO.: | Continuation-in-part of Ser. No. US 2003-644055, filed on 19 Aug 2003, PENDING | | |

| | NUMBER | DATE |
|-----------------------|-----------------|---------------|
| PRIORITY INFORMATION: | US 2003-517915P | 20031107 (60) |
| | US 2003-526426P | 20031202 (60) |
| | US 2003-526425P | 20031202 (60) |
| | US 2004-546017P | 20040219 (60) |
| | US 2002-405729P | 20020823 (60) |
| | US 2002-426107P | 20021113 (60) |
| | US 2002-426226P | 20021113 (60) |
| | US 2002-426282P | 20021113 (60) |
| | US 2002-428210P | 20021121 (60) |
| | US 2003-460328P | 20030403 (60) |
| | US 2003-460493P | 20030403 (60) |
| | US 2003-460327P | 20030403 (60) |
| | US 2003-478916P | 20030616 (60) |
| | US 2003-484048P | 20030701 (60) |

DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Chiron Corporation, Intellectual Property - R440, P.O. Box 8097, Emeryville, CA, 94662-8097, US

NUMBER OF CLAIMS: 28

EXEMPLARY CLAIM:

1

NUMBER OF DRAWINGS: 34 Drawing Page(s)

LINE COUNT: 17221

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Methods of inhibiting fibroblast growth factor receptor 3 and treating various conditions mediated by fibroblast growth factor receptor 3 are provided that include administering to a subject a compound of Structure I, a pharmaceutically acceptable salt thereof, a tautomer thereof, or a pharmaceutically acceptable salt of the tautomer. Compounds having the Structure I have the following structure where and have the variables described herein. Such compounds may be used to prepare medicaments for use in inhibiting fibroblast growth factor receptor 3 and for use in treating conditions mediated by fibroblast growth factor receptor 3 such as multiple myeloma. ##STR1##

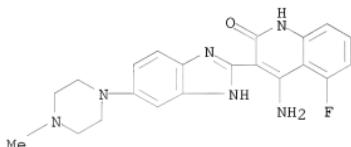
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 405169-16-6P 668434-24-0P 692737-80-7P

(preparation of benzimidazole quinolinones for inhibiting FGFR3 and treating multiple myeloma)

RN 405169-16-6 USPATFULL

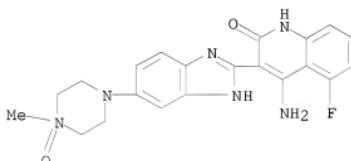
CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)



Me

RN 668434-24-0 USPATFULL

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[6-(4-methyl-4-oxido-1-piperazinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)



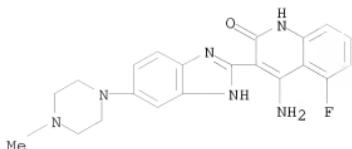
RN 692737-80-7 USPATFULL

CN Propanoic acid, 2-hydroxy-, compd. with
4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]-
2(1H)-quinolinone (1:1) (CA INDEX NAME)

CM 1

CRN 405169-16-6

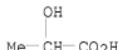
CMF C21 H21 F N6 O



Me

CM 2

CRN 50-21-5
CMF C3 H6 O3



L8 ANSWER 8 OF 12 USPATFULL on STN
 ACCESSION NUMBER: 2005:293608 USPATFULL
 TITLE: Combination therapy with CHK1 inhibitors
 INVENTOR(S): Gesner, Thomas G., Kensington, CA, UNITED STATES
 Barsanti, Paul A., Pleasant Hill, CA, UNITED STATES
 Harrison, Stephen D., Albany, CA, UNITED STATES
 Ni, Zhi-Jie, Fremont, CA, UNITED STATES
 Brammeier, Nathan M., Walnut Creek, CA, UNITED STATES
 Zhou, Yasheen, Moraga, CA, UNITED STATES
 Le, Vincent P., San Francisco, CA, UNITED STATES
 PATENT ASSIGNEE(S): CHIRON CORPORATION (U.S. corporation)

| | NUMBER | KIND | DATE |
|-----------------------|--|------|---------------|
| PATENT INFORMATION: | US 20050256157 | A1 | 20051117 |
| APPLICATION INFO.: | US 2005-41191 | A1 | 20050121 (11) |
| RELATED APPLN. INFO.: | Continuation-in-part of Ser. No. US 2003-644055, filed on 19 Aug 2003, PENDING | | |

| | NUMBER | DATE |
|-----------------------|-----------------|---------------|
| PRIORITY INFORMATION: | US 2004-538984P | 20040123 (60) |
| | US 2002-405729P | 20020823 (60) |
| | US 2002-426282P | 20021113 (60) |
| | US 2002-426107P | 20021113 (60) |
| | US 2002-426226P | 20021113 (60) |
| | US 2002-428210P | 20021121 (60) |
| | US 2003-460493P | 20030403 (60) |
| | US 2003-460328P | 20030403 (60) |
| | US 2003-460327P | 20030403 (60) |
| | US 2003-478916P | 20030616 (60) |
| | US 2003-484048P | 20030701 (60) |

DOCUMENT TYPE: Utility
 FILE SEGMENT: APPLICATION
 LEGAL REPRESENTATIVE: Chiron Corporation, Intellectual Property - R440, P.O. Box 8097, Emeryville, CA, 94662-8097, US
 NUMBER OF CLAIMS: 32
 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 28 Drawing Page(s)

LINE COUNT: 16679

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of Structure I, and salts, tautomers, stereoisomers, and mixtures thereof may be used in methods of inhibiting checkpoint kinase 1 in subjects, in methods for inducing cell cycle progression, and in methods for increasing apoptosis in cells. Such compounds may be used to prepare pharmaceutical compositions and may be used in conjunction with DNA damaging agents. ##STR1##

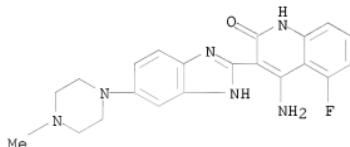
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 405169-16-6P 668434-24-0P 692737-80-7P

(preparation of benzimidazole quinolinones for inhibiting a checkpoint kinase 1 and their use in combination therapy for cancer)

RN 405169-16-6 USPATFULL

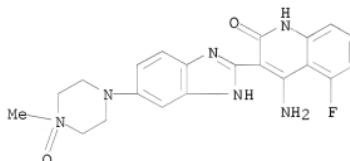
CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)



Me

RN 668434-24-0 USPATFULL

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[6-(4-methyl-4-oxido-1-piperazinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)



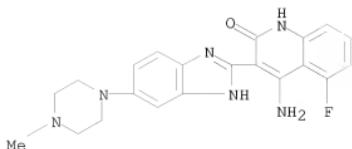
RN 692737-80-7 USPATFULL

CN Propanoic acid, 2-hydroxy-, compd. with
4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]-
2(1H)-quinolinone (1:1) (CA INDEX NAME)

CM 1

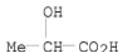
CRN 405169-16-6

CMF C21 H21 F N6 O



CM 2

CRN 50-21-5
CMF C3 H6 O3



L8 ANSWER 9 OF 12 USPATFULL on STN
 ACCESSION NUMBER: 2005:234162 USPATFULL
 TITLE: Benzimidazole quinolinones and uses thereof
 INVENTOR(S): Barsanti, Paul A., Pleasant Hill, CA, UNITED STATES
 Bussiere, Dirksen, San Leandro, CA, UNITED STATES
 Harrison, Stephen D., Albany, CA, UNITED STATES
 Heise, Carla C., Benicia, CA, UNITED STATES
 Jansen, Johanna M., San Francisco, CA, UNITED STATES
 Jazan, Elisa, Berkeley, CA, UNITED STATES
 Machajewski, Timothy D., Martinez, CA, UNITED STATES
 McBride, Christopher, Oakland, CA, UNITED STATES
 McCrea, William R. JR., Berkeley, CA, UNITED STATES
 Ng, Simon, Walnut Creek, CA, UNITED STATES
 Ni, Zhi-Jie, Fremont, CA, UNITED STATES
 Pecchi, Sabina, Oakland, CA, UNITED STATES
 Pfister, Keith B., San Ramon, CA, UNITED STATES
 Ramurthy, Savithri, Walnut Creek, CA, UNITED STATES
 Renhowe, Paul A., Danville, CA, UNITED STATES
 Shafer, Cynthia M., El Sobrante, CA, UNITED STATES
 Silver, Joel B., Santa Cruz, CA, UNITED STATES
 Wagman, Allan S., Belmont, CA, UNITED STATES
 Wiesmann, Marion, Brisbane, CA, UNITED STATES
 Wayman, Kelly, San Rafael, CA, UNITED STATES
 Chiron Corporation (U.S. corporation)

| | NUMBER | KIND | DATE |
|-----------------------|--|------|---------------|
| PATENT INFORMATION: | US 20050203101 | A1 | 20050915 |
| APPLICATION INFO.: | US 2004-839793 | A1 | 20040505 (10) |
| RELATED APPLN. INFO.: | Continuation of Ser. No. US 2003-644055, filed on 19 Aug 2003, PENDING | | |

| | NUMBER | DATE | |
|-----------------------|-----------------|---------------|-----|
| PRIORITY INFORMATION: | US 2002-405729P | 20020823 (60) | <-- |
| | US 2002-426107P | 20021113 (60) | <-- |
| | US 2002-426226P | 20021113 (60) | <-- |
| | US 2002-426282P | 20021113 (60) | <-- |

| | | |
|-----------------|---------------|-----|
| US 2002-428210P | 20021121 (60) | <-- |
| US 2003-460328P | 20030403 (60) | <-- |
| US 2003-460493P | 20030403 (60) | <-- |
| US 2003-460327P | 20030403 (60) | <-- |
| US 2003-478916P | 20030616 (60) | <-- |
| US 2003-484048P | 20030701 (60) | <-- |

DOCUMENT TYPE:

Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE: Chiron Corporation, Intellectual Property - R440, P.O. Box 8097, Emeryville, CA, 94662-8097, US

NUMBER OF CLAIMS:

9

EXEMPLARY CLAIM:

1

NUMBER OF DRAWINGS:

14 Drawing Page(s)

LINE COUNT:

14866

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Methods of treating cancer include contacting a cancer cell with 4-amino-5-fluoro-3-(5-piperazin-1-yl-1H-benzimidazol-2-yl)quinolin-2(1H)-one, 4-amino-5-fluoro-3-[5-(4-methyl-4-oxidopiperazin-1-yl)-1H-benzimidazol-2-yl]quinolin-2(1H)-one, tautomers thereof, pharmaceutically acceptable salts thereof, pharmaceutically acceptable salts of the tautomers thereof, or a mixture thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 405169-16-6P 668434-24-0P

(preparation of benzimidazole quinolinones for inhibiting a serine/threonine kinase)

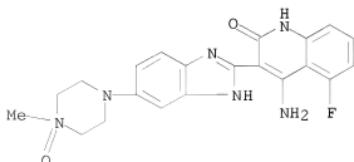
RN 405169-16-6 USPATFULL

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)

Me

RN 668434-24-0 USPATFULL

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[6-(4-methyl-4-oxido-1-piperazinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)



L8 ANSWER 10 OF 12 USPATFULL on STN

ACCESSION NUMBER: 2005:44347 USPATFULL

TITLE: Fluoro substituted omega-carboxyaryl diphenyl urea for the treatment and prevention of diseases and conditions

INVENTOR(S) : Boyer, Stephen, Hilden, GERMANY, FEDERAL REPUBLIC OF
Dumas, Jacques, Bethany, CT, UNITED STATES
Riedl, Bernd, Wuppertal, GERMANY, FEDERAL REPUBLIC OF
Wilhelm, Scott, Orange, CT, UNITED STATES

| | NUMBER | KIND | DATE |
|---------------------|----------------|------|---------------|
| PATENT INFORMATION: | US 20050038080 | A1 | 20050217 |
| APPLICATION INFO.: | US 2004-895985 | A1 | 20040722 (10) |

| | NUMBER | DATE | |
|--|--|---------------|-----|
| PRIORITY INFORMATION: | US 2003-489102P | 20030723 (60) | <-- |
| | US 2004-540326P | 20040202 (60) | |
| DOCUMENT TYPE: | Utility | | |
| FILE SEGMENT: | APPLICATION | | |
| LEGAL REPRESENTATIVE: | MILLEN, WHITE, ZELANO & BRANIGAN, P.C., 2200 CLARENDON BLVD., SUITE 1400, ARLINGTON, VA, 22201 | | |
| NUMBER OF CLAIMS: | 54 | | |
| EXEMPLARY CLAIM: | 1 | | |
| LINE COUNT: | 2492 | | |
| CAS INDEXING IS AVAILABLE FOR THIS PATENT. | | | |
| AB | A compound of Formula (I): ##STR1## | | |

salts thereof, prodrugs thereof, metabolites thereof, pharmaceutical compositions containing such a compound, and use of such compound and compositions to treat diseases mediated by raf, VEGFR, PDGFR, p38 and flt-3.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 804551-71-1, CHIR 258
(combination pharmaceutical; fluoro substituted omega-carboxyaryl di-Ph
urea for treatment of raf, VEGFR, PDGFR, p38 and flt-3 kinase-mediated
diseases)
RN 804551-71-1 USPATFULL

L8 ANSWER 11 OF 12 USPATFULL on STN
ACCESSION NUMBER: 2004:280895 USPATFULL
TITLE: Methods of treating cancer and related
methods
INVENTOR(S) : Hannah, Alison, Sebastopol, CA, UNITED STATES
Harwood, Eric, Seattle, WA, UNITED STATES
Haroldsen, Peter, Pacifica, CA, UNITED STATES
Heise, Carla, Benicia, CA, UNITED STATES
Machajewski, Timothy, Martinez, CA, UNITED STATES
Samara, Emil, Danville, CA, UNITED STATES
Shang, Xiao, Bellevue, WA, UNITED STATES
Vora, Jayesh, Martinez, CA, UNITED STATES
Zhu, Shuguang, Seattle, WA, UNITED STATES
Chiron Corporation (U.S. corporation)

| | NUMBER | KIND | DATE |
|---------------------|----------------|------|---------------|
| PATENT INFORMATION: | US 2004220196 | A1 | 20041104 |
| APPLICATION INFO.: | US 2003-706328 | A1 | 20031112 (10) |

| | NUMBER | DATE | |
|-----------------------|-----------------|---------------|-----|
| PRIORITY INFORMATION: | US 2003-460369P | 20030403 (60) | <-- |
| | US 2003-460493P | 20030403 (60) | <-- |
| | US 2003-460328P | 20030403 (60) | <-- |

US 2002-426204P 20021113 (60)
US 2002-426282P 20021113 (60)
US 2002-426107P 20021113 (60)
US 2003-517915P 20031107 (60)

<--
<--
<--

DOCUMENT TYPE:

Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE: Chiron Corporation, Intellectual Property - R440, P.O.
Box 8097, Emeryville, CA, 94662-8097

NUMBER OF CLAIMS: 58

EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 2 Drawing Page(s)

LINE COUNT: 2045

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Methods of treating cancer using 4-amino-5-fluoro-3-[6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]quinolin-2(1H)-one are provided. In particular, the methods are effective for the treatment of solid tumors or leukemias, including prostate, colorectal, breast, multiple myeloma, pancreatic, small cell carcinoma, acute myelogenous leukemia, chronic myelogenous leukemia, or myelo-proliferative disease. Further provided are methods of measuring the amount of 4-amino-5-fluoro-3-[6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]quinolin-2(1H)-one and determining a metabolic profile therefore.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

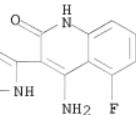
IT 405169-16-6P 668434-24-0P

(preparation of benzimidazole quinolinones for inhibiting a serine/threonine kinase)

RN 405169-16-6 USPATFULL

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)

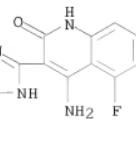
Me



RN 668434-24-0 USPATFULL

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[6-(4-methyl-4-oxido-1-piperazinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)

Me



ACCESSION NUMBER: 2004:121119 USPATFULL
TITLE: Benzimidazole quinolinones and uses thereof
INVENTOR(S): Barsanti, Paul A., Walnut Creek, CA, UNITED STATES
Bussiere, Dirksen, San Leandro, CA, UNITED STATES
Harrison, Stephen D., Albany, CA, UNITED STATES
Heise, Carla C., Benicia, CA, UNITED STATES
Jansen, Johanna M., San Francisco, CA, UNITED STATES
Jazan, Elisa, Richmond, CA, UNITED STATES
Michajewski, Timothy D., Martinez, CA, UNITED STATES
McBride, Christopher, Oakland, CA, UNITED STATES
McCrea, William R., JR., Berkeley, CA, UNITED STATES
Ng, Simon, Walnut Creek, CA, UNITED STATES
Ni, Zhi-Jie, Fremont, CA, UNITED STATES
Pecchi, Sabina, Oakland, CA, UNITED STATES
Pfister, Keith B., San Ramon, CA, UNITED STATES
Ramurthy, Savithri, Walnut Creek, CA, UNITED STATES
Renhowe, Paul A., Danville, CA, UNITED STATES
Shafer, Cynthia M., El Sobrante, CA, UNITED STATES
Silver, Joel B., Concord, NH, UNITED STATES
Wagman, Allan S., Belmont, CA, UNITED STATES
Wiesmann, Marion, Brisbane, CA, UNITED STATES
PATENT ASSIGNEE(S): Chiron Corporation (U.S. corporation)

| | NUMBER | KIND | DATE |
|---------------------|----------------|------|---------------|
| PATENT INFORMATION: | US 20040092535 | A1 | 20040513 |
| | US 7470709 | B2 | 20081230 |
| APPLICATION INFO.: | US 2003-644055 | A1 | 20030819 (10) |

| | NUMBER | DATE | |
|-----------------------|-----------------|---------------|-----|
| PRIORITY INFORMATION: | US 2002-405729P | 20020823 (60) | <-- |
| | US 2002-426107P | 20021113 (60) | <-- |
| | US 2002-426226P | 20021113 (60) | <-- |
| | US 2002-426282P | 20021113 (60) | <-- |
| | US 2002-428210P | 20021121 (60) | <-- |
| | US 2003-460328P | 20030403 (60) | <-- |
| | US 2003-460493P | 20030403 (60) | <-- |
| | US 2003-460327P | 20030403 (60) | <-- |
| | US 2003-478916P | 20030616 (60) | <-- |
| | US 2003-484048P | 20030701 (60) | <-- |

DOCUMENT TYPE:

Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE: Chiron Corporation, Intellectual Property - R440, P.O.
Box 8097, Emeryville, CA, 94662-8097

NUMBER OF CLAIMS:

68

EXEMPLARY CLAIM:

1

NUMBER OF DRAWINGS:

14 Drawing Page(s)

LINE COUNT:

18050

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

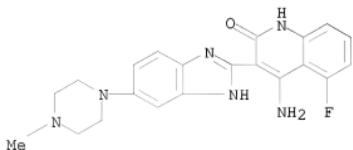
AB Methods of inhibiting various enzymes and treating various conditions are provided that include administering to a subject a compound of Structure I or IB, a pharmaceutically acceptable salt thereof, a tautomer thereof, or a pharmaceutically acceptable salt of the tautomer. Compounds having the Structure I and IB have the following structures and have the variables described herein. Such compounds may be used to prepare medicaments for use in inhibiting various enzymes and for use in treating conditions mediated by such enzymes. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

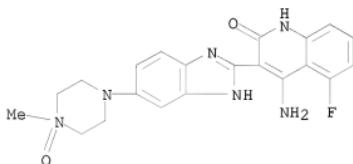
IT 405169-16-6P 668434-24-0P

(preparation of benzimidazole quinolinones for inhibiting a serine/threonine

kinase)
RN 405169-16-6 USPATFULL
CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)



RN 668434-24-0 USPATFULL
CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[6-(4-methyl-4-oxido-1-piperazinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)



=> d his

(FILE 'HOME' ENTERED AT 10:03:12 ON 22 APR 2009)

FILE 'REGISTRY' ENTERED AT 10:03:25 ON 22 APR 2009

L1 STRUCTURE uploaded
L2 0 S L1 EXA
L3 31 S L1 FULL
L4 1 S L1

FILE 'MEDLINE, CAPLUS, WPIDS, USPATFULL' ENTERED AT 10:04:35 ON 22 APR 2009

L5 92 S L3
L6 79 S L5 AND (?CANCER? OR ?TUMOR? OR ?TUMOUR? OR ?NEOPLASM?)
L7 23 S L6 AND (PD<20031107 OR PRD<20031107)
L8 12 S L7 AND ("PDGFR" OR "C-KIT" OR "FLT-3")

=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

| | | |
|--|------------|---------|
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 199.25 | 387.88 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| CA SUBSCRIBER PRICE | -4.10 | -4.10 |

STN INTERNATIONAL LOGOFF AT 10:17:17 ON 22 APR 2009